

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner
US Department of Commerce
United States Patent and Trademark
Office, PCT
2011 South Clark Place Room
CP2/5C24
Arlington, VA 22202
ETATS-UNIS D'AMERIQUE
in its capacity as elected Office

Date of mailing (day/month/year) 27 March 2001 (27.03.01)	
International application No. PCT/US00/17895	Applicant's or agent's file reference AM100246/PCT
International filing date (day/month/year) 28 June 2000 (28.06.00)	Priority date (day/month/year) 05 July 1999 (05.07.99)
Applicant TAKAGI, Kazuhiro et al	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:
13 January 2001 (13.01.01)

☐ in a notice effecting later election filed with the International Bureau on:

2. The election ☒ was
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer Kiwa Mpay Telephone No.: (41-22) 338.83.38
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PATENT COOPERATION TREATY

PCT

NOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

BASF AKTIENGESELLSCHAFT
D-67056 Ludwigshafen
ALLEMAGNE

Date of mailing (day/month/year) 05 April 2002 (05.04.02)	IMPORTANT NOTIFICATION
Applicant's or agent's file reference AM100246/PCT	
International application No. PCT/US00/17895	International filing date (day/month/year) 28 June 2000 (28.06.00)

1. The following indications appeared on record concerning:

☒ the applicant ☐ the inventor ☐ the agent ☐ the common representative

Name and Address

AMERICAN CYANAMID COMPANY
Five Giralda Farms
Madison, NJ 07940
United States of America

State of Nationality

US

State of Residence

US

Telephone No.

Facsimile No.

Teleprinter No.

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☒ the person ☐ the name ☐ the address ☐ the nationality ☐ the residence

Name and Address

BASF AKTIENGESELLSCHAFT
D-67056 Ludwigshafen
Germany

State of Nationality

DE

State of Residence

DE

Telephone No.

Facsimile No.

Teleprinter No.

3. Further observations, if necessary:
Assignment.

4. A copy of this notification has been sent to:

☒ the receiving Office ☐ the designated Offices concerned
☐ the International Searching Authority ☒ the elected Offices concerned
☐ the International Preliminary Examining Authority ☐ other:
The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No.: (41-22) 740.14.35

Authorized officer

Elisabeth KÖNIG

Telephone No.: (41-22) 338.83.38

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

BASF AKTIENGESELLSCHAFT
D-67056 Ludwigshafen
ALLEMAGNEDate of mailing (day/month/year)
05 April 2002 (05.04.02)Applicant's or agent's file reference
AM100246/PCTInternational application No.
PCT/US00/17895

IMPORTANT NOTIFICATION

International filing date (day/month/year)
28 June 2000 (28.06.00)

1. The following indications appeared on record concerning:

☐ the applicant ☐ the inventor ☒ the agent ☐ the common representative

Name and Address

HOGAN, John, W.
American Home Products Corporation
Patent Law Dept. 2B2
One Campus Drive
Parsippany, NJ 07054
United States of America

State of Nationality

State of Residence

Telephone No.

973 683 2152

Facsimile No.

973 683 4109

Teleprinter No.

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☒ the person ☐ the name ☐ the address ☐ the nationality ☐ the residence

Name and Address

BASF AKTIENGESELLSCHAFT
D-67056 Ludwigshafen
Germany

State of Nationality

State of Residence

Telephone No.

0621/60-42694

Facsimile No.

0621/60-43121

Teleprinter No.

3. Further observations, if necessary:

4. A copy of this notification has been sent to:

☒ the receiving Office ☐ the designated Offices concerned
☐ the International Searching Authority ☒ the elected Offices concerned
☐ the International Preliminary Examining Authority ☐ other:The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No.: (41-22) 740.14.35

Authorized officer

Elisabeth KÖNIG

Telephone No.: (41-22) 338.83.38

(19) World Intellectual Property Organization
International Bureau



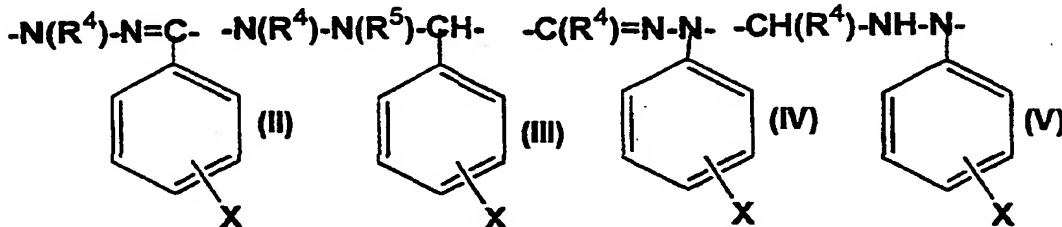
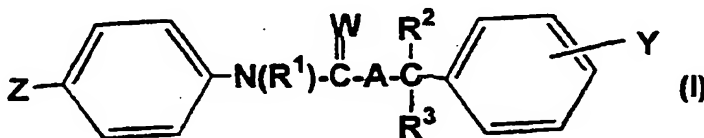
(43) International Publication Date
11 January 2001 (11.01.2001)

PCT

(10) International Publication Number
WO 01/01781 A1

- (51) International Patent Classification⁷: A01N 47/34, 37/44
- (21) International Application Number: PCT/US00/17895
- (22) International Filing Date: 28 June 2000 (28.06.2000)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
11/190671 5 July 1999 (05.07.1999) JP
- (71) Applicant (for all designated States except US): AMERICAN CYANAMID COMPANY [US/US]; Five Giralda Farms, Madison, NJ 07940 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): TAKAGI, Kazuhiro [JP/JP]; 4-12-10-1124, Kitahorie, Nishi-ku, Osaka-shi, Osaka-fu (JP). WADA, Yasuhiro [JP/JP]; 3-952-7, Higashi-ikejiri, Osakasayama-shi, Osaka-fu (JP). YAMAGUCHI, Rikio [JP/JP]; 2-5-202, Honmachi, Kawachinagano-shi, Osaka-fu (JP).
- (74) Agents: HOGAN, John, W. et al.; American Home Products Corporation, Patent Law Dept. 2B2, One Campus Drive, Parsippany, NJ 07054 (US).
- (81) Designated States (national): AT, AU, BR, CA, CH, CR, DE, DK, ES, FI, GB, HU, IL, IN, KE, MX, NO, NZ, PL, PT, SE, TR, US, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).
- Published:
— With international search report.
— With amended claims.
- Date of publication of the amended claims: 14 June 2001
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ANT CONTROLLERS AND METHOD FOR APPLICATION THEREOF



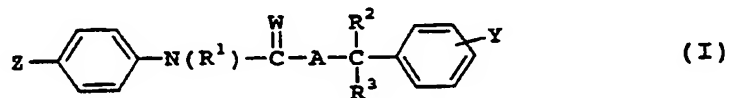
(57) Abstract: The present invention provides an excellent ant controller for protecting wooden materials such as trees, board fences, sleepers, etc. and structures such as shrines, temples, houses, outhouses, factories, etc. from termites, and for controlling ants doing harm to crops or humans, which contains as active ingredient thereof a hydrazine derivative represented by general formula (I) [wherein A represents one of formulas (II), (III), (IV), and (V), (wherein R⁴ and R⁵ are H, C₁-C₆ alkyl, etc.; X is 1 to 5 substituents selected from H, halogen and (halo) C₁-C₆ alkyl); R¹ is H or C₁-C₆ alkyl; R² and R³ are H, OH, C₁-C₆ alkyl, phenylcarbonyl, etc.; Y is 1 to 5 substituents selected from H, halogen, nitro and cyano; Z is halogen, cyano, C₁-C₆ alkyl, etc.; and W is O or S]; and a method for application of the ant controller.

WO 01/01781 A1

AMENDED CLAIMS

[received by the International Bureau on 12 December 2000 (12.12.00);
 original claims 1-7 replaced by new claims 1-10 (3 pages)]

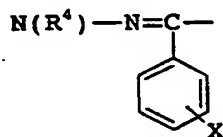
1. A method for combating pests selected from the Isoptera, Hymenoptera, Orthoptera, and Psocoptera orders by applying a hydrazine derivative represented by the following formula (I):



R^1 represents hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

R^2 and R^3 , which may be same or different, represent hydrogen, hydroxyl, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, $\text{C}_1\text{-C}_6$ alkylcarbonyl or phenylcarbonyl;

A represents

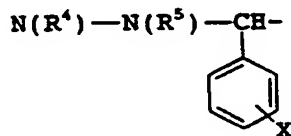


wherein

R^4 represents hydrogen or $\text{C}_1\text{-C}_6$ alkyl, and

X represents 1 to 5 same or different substituents selected from the group consisting of hydrogen, halogen, $\text{C}_1\text{-C}_6$ alkyl and halo $\text{C}_1\text{-C}_6$ alkyl,

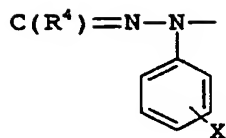
or



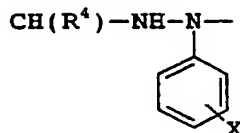
wherein R^4 and X are as defined above, and

R^5 represents hydrogen, $\text{C}_1\text{-C}_6$ alkylcarbonyl or phenylcarbonyl which may have 1 to 2 same or different substituents $\text{C}_1\text{-C}_6$ alkyl,

or

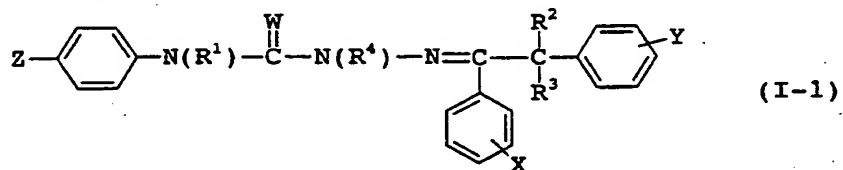
wherein R⁴ and X are as defined above,

or

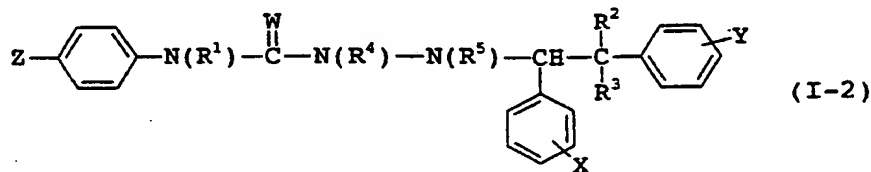
wherein R⁴ and X are as defined above;

- Y represents 1 to 5 same or different substituents selected from the group consisting of hydrogen, halogen, nitro and cyano;
- Z represents halogen, cyano, C₁-C₆ alkyl, halo C₁-C₆ alkyl, C₁-C₆ alkoxy, halo C₁-C₆ alkoxy, halo C₁-C₆ alkylthio, halo C₁-C₆ alkylsulfinyl or halo C₁-C₆ alkylsulfonyl; and
- W represents oxygen or sulfur.

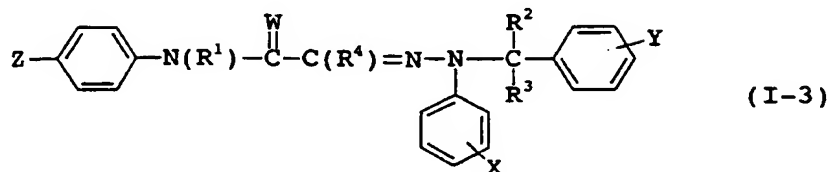
2. The method of claim 1 wherein the hydrazine derivative is represented by the following formula (I-1):



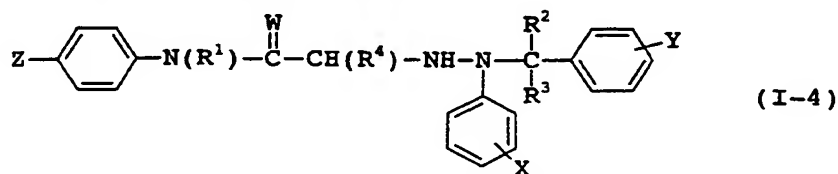
3. The method of claim 1 wherein the hydrazine derivative is represented by the following formula (I-2):



4. The method of claim 1 wherein the hydrazine derivative is represented by the following formula (I-3):



5. The method of claim 1 wherein the hydrazine derivative is represented by the following formula (I-4):



6. The method of claim 1 wherein the pests are selected from the Rhinotermitidae, Termitidae, Kalotermitidae, Termopsidae, and Formicidae family.
7. The method of any one of claims 1 to 6 wherein the hydrazine derivative is applied in amounts of 0,1 to 500 g/m².
8. A method for protecting wooden materials against pests from the Rhinotermitidae, Termitidae, Kalotermitidae, and Termopsidae family by applying a hydrazine derivative as defined in any one of claims 1 to 5.
9. The method of claim 8 wherein the hydrazine derivative is applied in amounts of 0,1 to 50 g/m².
10. The method of claim 9 wherein the hydrazine derivative is represented by formula I-1 as defined in claim 2.

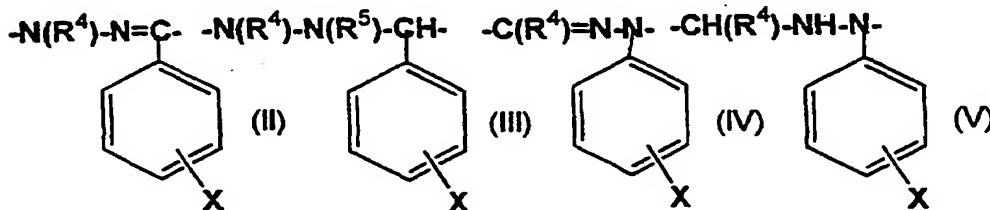
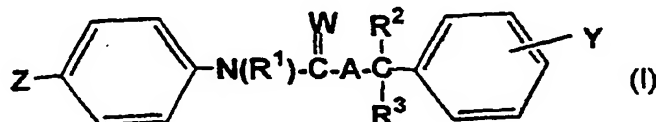
(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
11 January 2001 (11.01.2001)

PCT

(10) International Publication Number
WO 01/01781 A1

- (51) International Patent Classification⁷: A01N 47/34, 37/44
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11/190671 5 July 1999 (05.07.1999) JP
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- (72) Inventors; and
- (75) Inventors/Applicants (for US only): TAKAGI, Kazuhiro [JP/JP]; 4-12-10-1124, Kitahorie, Nishi-ku, Osaka-shi, Osaka-fu (JP). WADA, Yasuhiro [JP/JP]; 3-952-7, Higashi-ikejiri, Osakasayama-shi, Osaka-fu (JP). YAMAGUCHI, Rikio [JP/JP]; 2-5-202, Honmachi, Kawachinagano-shi, Osaka-fu (JP).
- (74) Agents: HOGAN, John, W. et al.; American Home Products Corporation, Patent Law Dept. 2B2, One Campus Drive, Parsippany, NJ 07054 (US).
- (81) Designated States (national): AT, AU, BR, CA, CH, CR, DE, DK, ES, FI, GB, HU, IL, IN, KE, MX, NO, NZ, PL, PT, SE, TR, US, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).
- Published:
— With international search report.
— Before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments.
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ANT CONTROLLERS AND METHOD FOR APPLICATION THEREOF



(57) Abstract: The present invention provides an excellent ant controller for protecting wooden materials such as trees, board fences, sleepers, etc. and structures such as shrines, temples, houses, outhouses, factories, etc. from termites, and for controlling ants doing harm to crops or humans, which contains as active ingredient thereof a hydrazine derivative represented by general formula (I) [wherein A represents one of formulas (II), (III), (IV), and (V), (wherein R⁴ and R⁵ are H, C₁-C₆ alkyl, etc.; X is 1 to 5 substituents selected from H, halogen and (halo) C₁-C₆ alkyl); R¹ is H or C₁-C₆ alkyl; R² and R³ are H, OH, C₁-C₆ alkyl, phenylcarbonyl, etc.; Y is 1 to 5 substituents selected from H, halogen, nitro and cyano; Z is halogen, cyano, C₁-C₆ alkyl, etc.; and W is O or S]; and a method for application of the ant controller.

WO 01/01781 A1

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 00/17895

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A01N47/34 A01N37/44

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, WPI Data, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
------------	--	-----------------------

X	WO 92 06076 A (DU PONT) 16 April 1992 (1992-04-16) page 54, line 32 -page 55, line 17 claim 1; table A ---	1,2,6,7
X	EP 0 462 456 A (NIHON NOHYAKU CO LTD) 27 December 1991 (1991-12-27) cited in the application page 1; claim 1; example A004 ---	1,2,6,7
X	EP 0 500 111 A (ISHIHARA MINING & CHEMICAL CO) 26 August 1992 (1992-08-26) page 38, line 55 -page 39, line 2; claim 1; example 200 --- -/--	1,2,6,7

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

28 August 2000

Date of mailing of the international search report

23. 11. 00

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Bertrand, F

INTERNATIONAL SEARCH REPORT

Inte:  ublication No
PCT/US 00/17895

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>WO 94 11340 A (NIPPON SODA CO ;KISHIMOTO TAKASHI (JP); MATSUDA MICHIIKO (JP); HA) 26 May 1994 (1994-05-26) abstract; example 12 -----</p>	1,2

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 00/17895

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
1, 6, 7 (all partly)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1,6,7 (all partly) and 2

Ant controller and method of its application, involving a compound of general formula I-1

2. Claims: 1,6,7 (all partly) and 3

Ant controller and method of its application, involving a compound of general formula I-2

3. Claims: 1,6,7 (all partly) and 4

Ant controller and method of its application, involving a compound of general formula I-3

4. Claims: 1,6,7 (all partly) and 5

Ant controller and method of its application, involving a compound of general formula I-4

INTERNATIONAL SEARCH REPORT

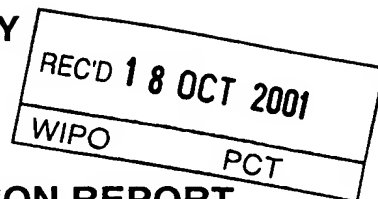
Information on patent family members

Inter national Publication No

PCT/US 00/17895

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9206076 A	16-04-1992	AU 9028991 A CA 2093351 A EP 0553284 A JP 6502414 T	28-04-1992 06-04-1992 04-08-1993 17-03-1994
EP 0462456 A	27-12-1991	AU 631995 B AU 7833291 A CN 1057646 A,B CN 1103065 A,B DE 69119301 D DE 69119301 T ES 2089056 T JP 2805255 B JP 5004958 A KR 9502840 B US 5543573 A ZA 9104232 A JP 2805256 B JP 5017428 A	10-12-1992 19-12-1991 08-01-1992 31-05-1995 13-06-1996 17-10-1996 01-10-1996 30-09-1998 14-01-1993 27-03-1995 06-08-1996 24-02-1993 30-09-1998 26-01-1993
EP 0500111 A	26-08-1992	BR 9200586 A CA 2061214 A CN 1064481 A EG 19569 A HU 60595 A JP 5279312 A MX 9200731 A NZ 241574 A RO 108451 B US 5288727 A ZA 9201240 A	27-10-1992 23-08-1992 16-09-1992 29-06-1995 28-10-1992 26-10-1993 01-09-1992 26-08-1993 31-05-1994 22-02-1994 25-11-1992
WO 9411340 A	26-05-1994	JP 6157444 A AU 5433794 A	03-06-1994 08-06-1994

PCT



INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

7

Applicant's or agent's file reference AM100246/PCT		FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/US00/17895	International filing date (day/month/year) 28/06/2000	Priority date (day/month/year) 05/07/1999
International Patent Classification (IPC) or national classification and IPC A01N47/34		
Applicant AMERICAN CYANAMID COMPANY et al.		



- This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 7 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

 These annexes consist of a total of sheets.

- This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☒ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 13/01/2001	Date of completion of this report 16.10.2001
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Bertrand, F Telephone No. +49 89 2399 8606 

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US00/17895

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, pages:

1-34 as originally filed

Claims, No.:

1-10 as received on 13/01/2001 with letter of 06/12/2000

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US00/17895

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:
see separate sheet

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application.
☒ claims Nos. 1(part),3-5,6-9(part).

because:

- ☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):
- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
- ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
- ☒ no international search report has been established for the said claims Nos. 1(part),3-5,6-9(part).
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
- ☐ the written form has not been furnished or does not comply with the standard.
- ☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	
	No: Claims	1-2,6-10
Inventive step (IS)	Yes: Claims	
	No: Claims	1,2,6-10
Industrial applicability (IA)	Yes: Claims	1,2,6-10

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/US00/17895

No: Claims

2. Citations and explanations
see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:
see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/US00/17895

Re Item I

Basis of the report

The documents mentioned in this International Preliminary Examination Report are numbered in accordance with the order they appear in the International Search Report.

The amendments filed with the letter of the 06.12.2000 do not contravene Article 19(2) PCT, insofar as they do not introduce any subject-matter which extends beyond the application as originally filed. They are thus admissible.

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The International Search Report has only been established only in relation with compounds of general formula I-1. Since the international preliminary examination report cannot be based upon matter that has not been searched, no opinion will be given for claims 3-5 and the opinion relating to claims 1 and 6-9 will assume that compounds of general formula I-1 alone are meant.

Re Item V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

The present invention relates to a method for combatting pests from the Isoptera, Hymenoptera, Orthoptera and Psocoptera orders using a compound of general formula I-1. These methods are susceptible of industrial application, therefore the present application fulfills the criteria of Art.33(4) PCT.

D1 discloses a family of compounds that overlaps the formula I-1 according to the present invention. Specifically, the compounds 1-5 and 16-20 of D1 fall within the overlap. Eventually, D1 mentions a list of pests that can be controlled with such compounds, e.g. Isoptera, Hymenoptera and Orthoptera.

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/US00/17895

D2 discloses a family of compounds that overlaps the formula I-1 according to the present invention and that are to be used to control various insect pests, but does not explicitly mention the Isoptera, Hymenoptera and Orthoptera.

D3 discloses a family of compounds that overlaps the formula I-1 according to the present invention. Specifically, compound 200 of D3 falls within the overlap. These compounds are used at a rate of 1-50000 g/ha. Eventually, D3 mentions a list of pests that can be controlled with such compounds, e.g. ants and termites.

D4 discloses a family of compounds that overlaps the formula I-1 according to the present invention. Specifically, compound 12 of D4 falls within the overlap. Eventually, D4 mentions a list of pests that can be controlled with such compounds, e.g. Isoptera, Hymenoptera and Orthoptera.

The present application does thus not fulfill the criteria of Article 33(2) PCT, because the claimed subject-matter is not new with respect to the prior art as defined in Rule 64(1) to (3) PCT (D1, D3 and D4). In this respect, the Applicant is reminded that when a prior art document provides an example within an overlap with the claimed scope, one skilled in the art is lead to work within said overlap. Thus, novelty can only be acknowledged when the whole overlapping range is removed from the scope of the claims.

The present application does not fulfill the criteria of Article 33(3) PCT either, because the claimed subject-matter does not involve an inventive step (Rule 65(1) and (2) PCT). If the finding of lack of novelty above could have been overcome, the technical problem underlying the remaining part(s) of the invention would be to find an alternative to the known methods for combatting Isoptera, Hymenoptera and Orthoptera. The solution is a priori obvious due to a structural analogy between the known compounds and those used in the possibly new claims. Moreover, the determination of a dose to use is within the normal skills of one skilled in the art. Furthermore, even if the order of Psocoptera is not mentioned in the prior art, the broad spectrum of activity that is disclosed for related species would have prompted one skilled in the art to test the questioned method on the Psocoptera as well with a reasonable expectation of success. In the absence of an objectively demonstrated surprising effect and/or of a prejudice to be overcome, the claimed solution is regarded as obvious.

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/US00/17895

Re Item VII

Certain defects in the international application

Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D1, D3 and D4 is not mentioned in the description, nor are these documents identified therein.

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference AM100246/PCT	FOR FURTHER ACTION see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. PCT/US 00/ 17895	International filing date (day/month/year) 28/06/2000	(Earliest) Priority Date (day/month/year) 05/07/1999
Applicant AMERICAN CYANAMID COMPANY		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☐ **Certain claims were found unsearchable** (See Box I).

3. ☒ **Unity of invention is lacking** (see Box II).

4. With regard to the title,

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

5. With regard to the abstract,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☒ None of the figures.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 00/17895

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1, 6, 7 (all partly)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1,6,7 (all partly) and 2

Ant controller and method of its application, involving a compound of general formula I-1

2. Claims: 1,6,7 (all partly) and 3

Ant controller and method of its application, involving a compound of general formula I-2

3. Claims: 1,6,7 (all partly) and 4

Ant controller and method of its application, involving a compound of general formula I-3

4. Claims: 1,6,7 (all partly) and 5

Ant controller and method of its application, involving a compound of general formula I-4

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 00/17895

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A01N47/34 A01N37/44

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, WPI Data, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 92 06076 A (DU PONT) 16 April 1992 (1992-04-16) page 54, line 32 -page 55, line 17 claim 1; table A ---	1,2,6,7
X	EP 0 462 456 A (NIHON NOHYAKU CO LTD) 27 December 1991 (1991-12-27) cited in the application page 1; claim 1; example A004 ---	1,2,6,7
X	EP 0 500 111 A (ISHIHARA MINING & CHEMICAL CO) 26 August 1992 (1992-08-26) page 38, line 55 -page 39, line 2; claim 1; example 200 --- -/--	1,2,6,7

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
"&" document member of the same patent family

Date of the actual completion of the international search

28 August 2000

Date of mailing of the international search report

23.11.00

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Bertrand, F

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 00/17895

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 94 11340 A (NIPPON SODA CO ;KISHIMOTO TAKASHI (JP); MATSUDA MICHIIKO (JP); HA) 26 May 1994 (1994-05-26) abstract; example 12 -----	1,2

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/17895

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
W0 9206076	A	16-04-1992	AU 9028991 A	28-04-1992
			CA 2093351 A	06-04-1992
			EP 0553284 A	04-08-1993
			JP 6502414 T	17-03-1994

EP 0462456	A	27-12-1991	AU 631995 B	10-12-1992
			AU 7833291 A	19-12-1991
			CN 1057646 A,B	08-01-1992
			CN 1103065 A,B	31-05-1995
			DE 69119301 D	13-06-1996
			DE 69119301 T	17-10-1996
			ES 2089056 T	01-10-1996
			JP 2805255 B	30-09-1998
			JP 5004958 A	14-01-1993
			KR 9502840 B	27-03-1995
			US 5543573 A	06-08-1996
			ZA 9104232 A	24-02-1993
			JP 2805256 B	30-09-1998
			JP 5017428 A	26-01-1993

EP 0500111	A	26-08-1992	BR 9200586 A	27-10-1992
			CA 2061214 A	23-08-1992
			CN 1064481 A	16-09-1992
			EG 19569 A	29-06-1995
			HU 60595 A	28-10-1992
			JP 5279312 A	26-10-1993
			MX 9200731 A	01-09-1992
			NZ 241574 A	26-08-1993
			RO 108451 B	31-05-1994
			US 5288727 A	22-02-1994
			ZA 9201240 A	25-11-1992



W0 9411340	A	26-05-1994	JP 6157444 A	03-06-1994
			AU 5433794 A	08-06-1994

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference AM100246/PCT	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US00/17895	International filing date (day/month/year) 28/06/2000	Priority date (day/month/year) 05/07/1999
International Patent Classification (IPC) or national classification and IPC A01N47/34		
Applicant AMERICAN CYANAMID COMPANY et al.		
<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 7 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p>		
<p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the report</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input checked="" type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p>		
Date of submission of the demand 13/01/2001	Date of completion of this report 16.10.2001	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Bertrand, F Telephone No. +49 89 2399 8606 	

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/US00/17895

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, pages:

1-34 as originally filed

Claims, No.:

1-10 as received on 13/01/2001 with letter of 06/12/2000

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/US00/17895

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:
see separate sheet

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application.
☒ claims Nos. 1(part),3-5,6-9(part).

because:

- ☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):
- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
- ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
- ☒ no international search report has been established for the said claims Nos. 1(part),3-5,6-9(part).
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
- ☐ the written form has not been furnished or does not comply with the standard.
- ☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	
	No: Claims	1-2,6-10
Inventive step (IS)	Yes: Claims	
	No: Claims	1,2,6-10
Industrial applicability (IA)	Yes: Claims	1,2,6-10

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/US00/17895

No: Claims

2. Citations and explanations
see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:
see separate sheet

Re Item I

Basis of the report

The documents mentioned in this International Preliminary Examination Report are numbered in accordance with the order they appear in the International Search Report.

The amendments filed with the letter of the 06.12.2000 do not contravene Article 19(2) PCT, insofar as they do not introduce any subject-matter which extends beyond the application as originally filed. They are thus admissible.

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The International Search Report has only been established only in relation with compounds of general formula I-1. Since the international preliminary examination report cannot be based upon matter that has not been searched, no opinion will be given for claims 3-5 and the opinion relating to claims 1 and 6-9 will assume that compounds of general formula I-1 alone are meant.

Re Item V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

The present invention relates to a method for combatting pests from the Isoptera, Hymenoptera, Orthoptera and Psocoptera orders using a compound of general formula I-1. These methods are susceptible of industrial application, therefore the present application fulfills the criteria of Art.33(4) PCT.

D1 discloses a family of compounds that overlaps the formula I-1 according to the present invention. Specifically, the compounds 1-5 and 16-20 of D1 fall within the overlap. Eventually, D1 mentions a list of pests that can be controlled with such compounds, e.g. Isoptera, Hymenoptera and Orthoptera.

D2 discloses a family of compounds that overlaps the formula I-1 according to the present invention and that are to be used to control various insect pests, but does not explicitly mention the Isoptera, Hymenoptera and Orthoptera.

D3 discloses a family of compounds that overlaps the formula I-1 according to the present invention. Specifically, compound 200 of D3 falls within the overlap. These compounds are used at a rate of 1-50000 g/ha. Eventually, D3 mentions a list of pests that can be controlled with such compounds, e.g. ants and termites.

D4 discloses a family of compounds that overlaps the formula I-1 according to the present invention. Specifically, compound 12 of D4 falls within the overlap. Eventually, D4 mentions a list of pests that can be controlled with such compounds, e.g. Isoptera, Hymenoptera and Orthoptera.

The present application does thus not fulfill the criteria of Article 33(2) PCT, because the claimed subject-matter is not new with respect to the prior art as defined in Rule 64(1) to (3) PCT (D1, D3 and D4). In this respect, the Applicant is reminded that when a prior art document provides an example within an overlap with the claimed scope, one skilled in the art is lead to work within said overlap. Thus, novelty can only be acknowledged when the whole overlapping range is removed from the scope of the claims.

The present application does not fulfill the criteria of Article 33(3) PCT either, because the claimed subject-matter does not involve an inventive step (Rule 65(1) and (2) PCT). If the finding of lack of novelty above could have been overcome, the technical problem underlying the remaining part(s) of the invention would be to find an alternative to the known methods for combatting Isoptera, Hymenoptera and Orthoptera. The solution is a priori obvious due to a structural analogy between the known compounds and those used in the possibly new claims. Moreover, the determination of a dose to use is within the normal skills of one skilled in the art. Furthermore, even if the order of Psocoptera is not mentioned in the prior art, the broad spectrum of activity that is disclosed for related species would have prompted one skilled in the art to test the questioned method on the Psocoptera as well with a reasonable expectation of success. In the absence of an objectively demonstrated surprising effect and/or of a prejudice to be overcome, the claimed solution is regarded as obvious.

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/US00/17895

Re Item VII

Certain defects in the international application

Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D1, D3 and D4 is not mentioned in the description, nor are these documents identified therein.

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



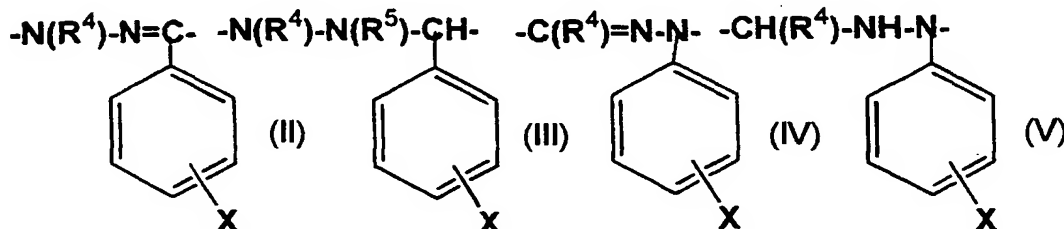
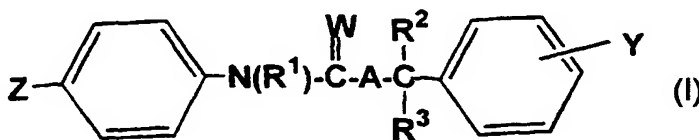
(43) International Publication Date
11 January 2001 (11.01.2001)

PCT

(10) International Publication Number
WO 01/01781 A1

- (51) International Patent Classification⁷: A01N 47/34, 37/44
- (21) International Application Number: PCT/US00/17895
- (22) International Filing Date: 28 June 2000 (28.06.2000)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
11/190671 5 July 1999 (05.07.1999) JP
05 Jan 02 / 30 mos
- (71) Applicant (for all designated States except US): AMERICAN CYANAMID COMPANY [US/US]; Five Giralda Farms, Madison, NJ 07940 (US).
- (72) Inventors; and
- (73) Inventors/Applicants (for US only): TAKAGI, Kazuhiro [JP/JP]; 4-12-10-1124, Kitahorie, Nishi-ku, Osaka-shi, Osaka-fu (JP); WADA, Yasuhiro [JP/JP]; 3-952-7, Higashi-ikejiri, Osakasayama-shi, Osaka-fu (JP); YAMAGUCHI, Rikio [JP/JP]; 2-5-202, Honmachi, Kawachinagano-shi, Osaka-fu (JP).
- (74) Agents: HOGAN, John, W. et al.; American Home Products Corporation, Patent Law Dept. 2B2, One Campus Drive, Parsippany, NJ 07054 (US).
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(54) Title: ANT CONTROLLERS AND METHOD FOR APPLICATION THEREOF



(57) Abstract: The present invention provides an excellent ant controller for protecting wooden materials such as trees, board fences, sleepers, etc. and structures such as shrines, temples, houses, outhouses, factories, etc. from termites, and for controlling ants doing harm to crops or humans, which contains as active ingredient thereof a hydrazine derivative represented by general formula (I) [wherein A represents one of formulas (II), (III), (IV), and (V), (wherein R⁴ and R⁵ are H, C₁-C₆ alkyl, etc.; X is 1 to 5 substituents selected from H, halogen and (halo) C₁-C₆ alkyl); R¹ is H or C₁-C₆ alkyl; R² and R³ are H, OH, C₁-C₆ alkyl, phenylcarbonyl, etc.; Y is 1 to 5 substituents selected from H, halogen, nitro and cyano; Z is halogen, cyano, C₁-C₆ alkyl, etc.; and W is O or S]; and a method for application of the ant controller.

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ANT CONTROLLERS AND METHOD FOR
APPLICATION THEREOF

5

BACKGROUND OF THE INVENTION

FIELD OF THE INVENTION

The present invention relates to novel ant
controller containing a hydrazine derivative as an
10 active ingredient and to a method for application of the
ant controller.

RELATED ART

The hydrazine derivatives represented by the
15 formula (I) which can be used as active ingredient of
the ant controllers of the present invention are known
compounds disclosed in JP-A-5-4958, JP-A-5-17428, JP-A-
5-32603, JP-A-5-262712, etc. In these patents, it is
described that these derivatives have an insecticidal
20 activity as agrihorticultuarl insecticides against
LEPIDOPTERA such as diamondback moth, rice leafroller,
etc., HEMIPTERA such as tea green leafhopper, pear lace
bug, etc., COLEOPTERA such as twenty-eight-spotted
ladybird, maize weevil, etc., DIPTERA such as melon fly,
25 house fly, house mosquito, etc., and TYLENCHIDA such as
coffee root-lesion nematode, root-knot nematode, etc.

Any of these patent gazettes, however, does
neither describe nor suggest that said hydrazine
derivatives have a marked insecticidal effect against

5 ISOPTERA such as formosan subterranean termite, kolbe, etc., HYMENOPTERA such as cabbage sawfly, Carpenter ant, etc., ORTHOPTERA such as Japanese cockroach, field cricket, rice grasshopper, etc., and PSOCOPTERA such as large pale booklouse, etc.

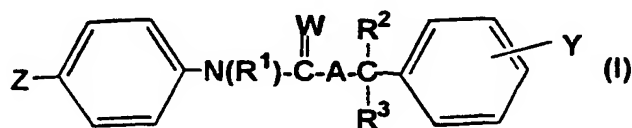
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SUMMARY OF THE INVENTION

The present inventors have conducted extensive studies with the aim of creating a novel ant controller having a marked controlling effect upon ants doing harm to the wooden materials constituting houses, furniture, etc. or crops and human being. As a result, it has been found that some of the hydrazine derivatives described in the above-mentioned prior art have a marked insecticidal effect upon termites and ants. The present invention has been accomplished on the basis of this findings.

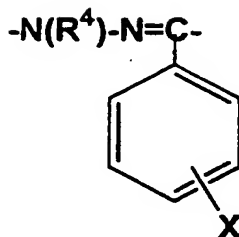
DETAILED DESCRIPTION OF THE INVENTION

The present invention relates to ant controllers containing as active ingredient thereof a hydrazine derivative represented by the following formula (I) and method for application of the ant controllers:

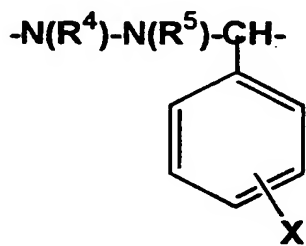


wherein A represents:

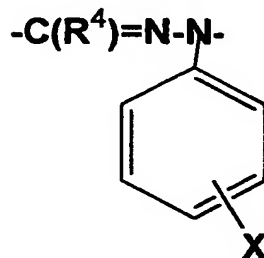
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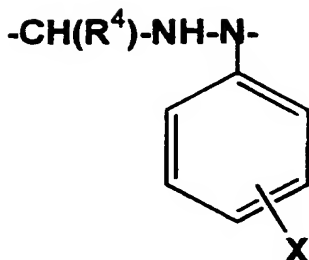
- 5 (wherein R⁴ represents hydrogen atom or C₁-C₆ alkyl group, and X represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, C₁-C₆ alkyl group and halo C₁-C₆ alkyl group),



- 10 (wherein R⁴ and X are as defined above, and R⁵ represents hydrogen atom, C₁-C₆ alkylcarbonyl group or phenylcarbonyl group which may have 1 to 2, same or different substituents selected from the group consisting of C₁-C₆ alkyl groups),
- 15



(wherein R⁴ and X are as defined above), or



(wherein R⁴ and X are as defined above);

5 R¹ represents hydrogen atom or C₁-C₆ alkyl group;

 R² and R³, which may be same or different, represent hydrogen atom, hydroxyl group, C₁-C₆ alkyl group, C₁-C₆ alkoxy group, C₁-C₆ alkylcarbonyl group or
10 phenylcarbonyl group;

 Y represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group;

15 Z represents halogen atom, cyano group, C₁-C₆ alkyl group, halo C₁-C₆ alkyl group, C₁-C₆ alkoxy group, halo C₁-C₆ alkoxy group, halo C₁-C₆ alkylthio group, halo C₁-C₆ alkylsulfinyl group or halo C₁-C₆ alkylsulfonyl group; and

20 W represents oxygen atom or sulfur atom.

 The ant controller of the present invention is an excellent ant controller for protecting wooden materials such as trees, board fences, sleepers, etc. and buildings such as shrines, temples, houses, outhouses,
25 factories, etc. from ants such as termites, and for controlling ants doing harm to crops or human being.

- 5 -

5 In the definition of the formula (I) shown above, the term "halogen atom" means chlorine atom, bromine atom, iodine atom and fluorine atom; the term "C₁-C₆ alkyl" means a straight or branched chain alkyl group having 1 to 6 carbon atoms; and the term "halo C₁-
10 C₆ alkyl" means an alkyl group having 1 to 6 carbon atoms substituted with at least one, same or different halogen atoms.

 Preferable examples of the hydrazine derivative represented by the formula (I) of the present
15 invention are the hydrazine derivatives represented by the formulas (I-1) and (I-2) as mentioned below. Preferable examples of each substituent of the hydrazine derivatives of formulas (I-1) and (I-2) are the compounds wherein W is oxygen atom, X is trifluoromethyl
20 group, Y is cyano group, Z is trifluoromethoxy group, and each of R¹, R², R³ and R⁴ is simultaneously a hydrogen atom. More preferable examples are the compounds wherein X is substituted on the 3-position, and Y is substituted on the 4-position of the phenyl ring.

25 Most preferable example is the hydrazine derivative represented by the formula (I-1), wherein each of R¹, R², R³ and R⁴ is simultaneously a hydrogen atom, X is trifluoromethyl group substituted on the 3-position of the phenyl ring, Y is cyano group
30 substituted on the 4-position of the phenyl ring, and Z is trifluoromethoxy group.

 Typical examples of the hydrazine derivative

- 6 -

5 represented by the formula (I) used as an active ingredient of the ant controller of the present invention are shown in Table 1 to Table 4, but the present invention is by no means limited to the compounds exemplified herein.

Formula (I-1)

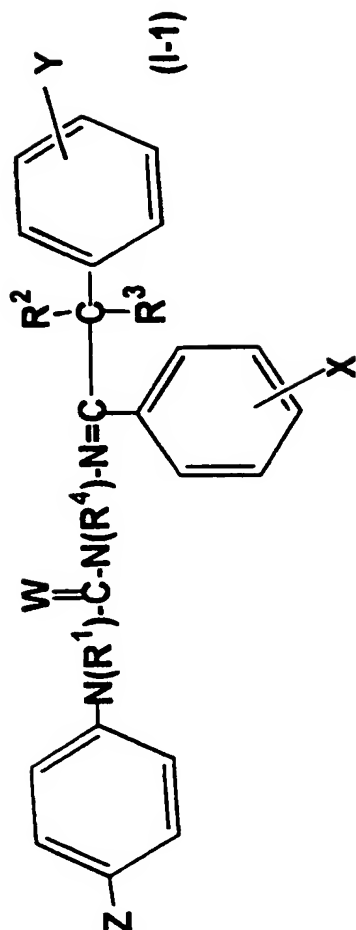


Table 1

No.	R ¹	R ²	R ³	R ⁴	X	Y	Z	W	mp (°)
1	H	H	H	H	H	H	Cl	O	199
2	H	H	H	H	H	H	OCF ₃	O	149
3	H	H	H	H	H	4-Cl	Cl	O	206
4	H	H	H	H	H	4-Cl	OCF ₃	O	197
5	H	H	H	H	H	4-CN	Cl	O	217
6	H	H	H	H	H	4-CN	Cl	S	128
7	H	H	H	H	H	4-CN	OCF ₃	S	116

Table 1 (Cont'd)

No.	R ¹	R ²	R ³	R ⁴	X	Y	Z	W	mp (°)
8	H	H	H	H	H	4-CN	OCF ₃	O	214 E-form
9	H	H	H	H	H	4-CN	OCF ₃	O	159 Z-form
10	H	H	H	H	H	4-NO ₂	Cl	O	222
11	H	H	H	H	H	4-NO ₂	Cl	S	206
12	H	H	H	H	H	4-NO ₂	OCF ₃	O	189
13	H	H	H	H	H	4-NO ₂	OCF ₃	S	139
14	H	H	H	H	H	4-NO ₂	SCF ₃	O	200
15	H	H	H	H	3-Cl	H	OCF ₃	O	212
16	H	H	H	H	3-Cl	4-Cl	OCF ₃	O	201
17	H	H	H	H	3-Cl	4-CN	Cl	O	206

Table 1 (Cont'd)

No.	R ¹	R ²	R ³	R ⁴	X	Y	Z	W	mp °C
18	H	H	H	H	3-Cl	4-CN	OCF ₃	O	187 E-form
19	H	H	H	H	3-Cl	4-CN	OCF ₃	O	148 Z-form
20	H	H	H	H	3-Cl	4-CN	OCF ₃	S	199
21	H	H	H	H	3-Cl	4-CN	SCF ₃	O	215
22	H	H	H	H	3-Cl	4-CN	SOCF ₃	O	205
23	H	H	H	H	3-Cl	4-CN	SO ₂ CF ₃	O	212
24	H	H	H	H	3-Br	H	Cl	O	191
25	H	H	H	H	3-Br	H	OCF ₃	O	209
26	H	H	H	H	3-Br	4-CN	Cl	O	205
27	H	H	H	H	3-Br	4-CN	OCF ₃	O	176
28	H	H	H	H	3-Br	4-CN	SCF ₃	O	206

Table 1 (Cont'd)

No.	R ¹	R ²	R ³	R ⁴	X	Y	Z	W	mp °
29	H	H	H	H	3-Br	4-CN	SO ₂ CF ₃	O	216
30	H	H	H	H	3-Br	4-CN	SO ₂ CF ₃	O	215
31	H	H	H	H	3-F	H	Cl	O	206
32	H	H	H	H	3-F	H	OCF ₃	O	200
33	H	H	H	H	3-F	4-Cl	OCF ₃	O	191
34	H	H	H	H	3-F	4-Cl	Cl	O	208
35	H	H	H	H	3-F	4-CN	OCF ₃	O	202
36	H	H	H	H	3-I	4-CN	Cl	O	213
37	H	H	H	H	3-I	4-CN	OCF ₃	O	201
38	H	H	H	H	3-CH ₃	H	Cl	O	185
39	H	H	H	H	3-CH ₃	H	OCF ₃	O	198
40	H	H	H	H	3-CH ₃	4-CN	Cl	O	200
41	H	H	H	H	3-CH ₃	4-CN	OCF ₃	O	189

Table 1 (Cont'd)

No.	R ¹	R ²	R ³	R ⁴	X	Y	Z	W	mp °C
53	H	CH ₃	H	H	H	4-Cl	Cl	O	121
54	H	CH ₃	H	H	H	4-Cl	OCF ₃	O	105
55	H	CH ₃	H	H	3-Cl	4-CN	Cl	O	140
56	H	CH ₃	H	H	3-Cl	4-CN	OCF ₃	O	98
57	H	H	OH	H	H	H	Cl	O	188
58	H	H	OH	H	H	H	OCF ₃	O	170
59	H	H	OH	H	H	4-Cl	Cl	O	Viscous
60	H	H	OH	H	H	4-Cl	OCF ₃	O	185
61	H	H	OH	H	H	4-Cl	OCF ₃	O	E-form 95
62	H	H	OH	H	H	4-CN	Cl	O	Z-form Viscous
63	H	H	OH	H	H	4-CN	OCF ₃	O	113
64	H	H	CH ₃	H	H	H	Cl	O	164
65	H	H	CH ₃	H	H	H	OCF ₃	S	118

Table 1 (Cont'd)

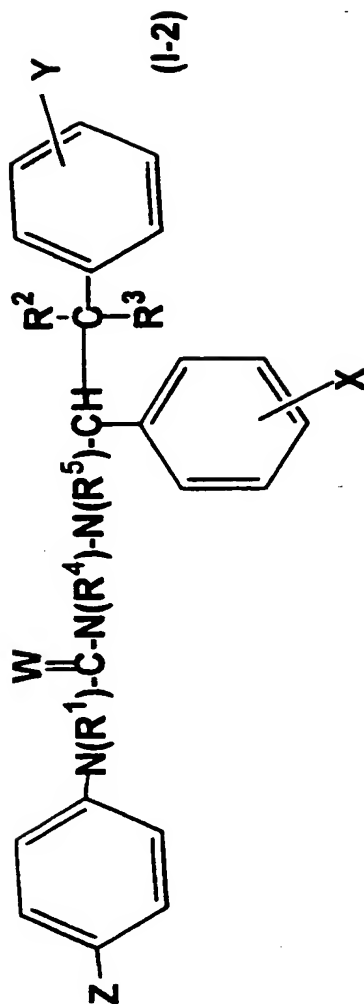
No.	R ¹	R ²	R ³	R ⁴	X	Y	Z	W	mp °
66	H	H	OCH ₃	H	H	H	Cl	O	183
67	H	H	OCH ₃	H	H	H	OCF ₃	O	181
68	H	H	OC ₃ H ₇ -i	H	H	H	Cl	O	155
69	H	H	OC ₃ H ₇ -i	H	H	H	OCF ₃	O	193
70	H	H	OC ₄ H ₉ -i	H	H	H	Cl	O	176
71	H	H	OC ₄ H ₉ -i	H	H	H	OCF ₃	O	184
72	H	H	O-CO-CH ₃	H	H	H	OCF ₃	O	182
73	H	H	O-CO-Ph	H	H	H	OCF ₃	O	168
74	H	H	OH	CH ₃	H	H	Cl	O	115
75	H	H	OH	CH ₃	H	H	OCF ₃	O	130
76	H	H	H	H	3-F	4-CN	SCF ₃	O	214
77	H	H	H	H	3-F	4-CN	SO ₂ CF ₃	O	214
78	H	H	H	H	4-F	4-CN	SO ₂ CF ₃	O	165
79	H	H	H	H	3-Cl	4-CN	SO ₂ CF ₃	O	157

Table 1 (Cont'd)

No.	R ¹	R ²	R ³	R ⁴	X	Y	Z	W	mp °
80	H	H	H	H	3-CF ₃	4-CN	SCF ₃	O	215
81	H	H	H	H	3-CF ₃	4-CN	SO CF ₃	O	210
82	H	H	H	H	3-CF ₃	4-CN	OCF ₃	O	152
83	H	H	H	H	3-CF ₃	4-CN	Cl	O	Z-form 165

Note: Ph is phenyl group.

Formula (I-2)

Table 2 (R¹ and R³ are hydrogen atoms)

No.	R ²	R ⁴	R ⁵	X	Y	Z	W	mp [°C]
84	H	H	H	H	H	Cl	O	211
85	H	H	H	H	H	OCF ₃	O	194
86	H	H	H	H	4-Cl	OCF ₃	O	209
87	H	H	H	H	4-CN	OCF ₃	O	204
88	H	H	H	H	4-NO ₂	OCF ₃	O	203
89	H	H	H	3-F	4-Cl	OCF ₃	O	203
90	H	H	H	3-Cl	4-Cl	OCF ₃	O	176

Table 2 (Cont'd)

No.	R ²	R ⁴	R ⁵	X	Y	Z	W	mp °C
91	H	H	H	3-Cl	4-CN	OCF ₃	O	193
92	H	H	H	3-Cl	4-CN	SCF ₃	O	177
93	H	H	H	3-Cl	4-CN	SOCF ₃	O	178
94	H	H	H	3-Cl	4-CN	SO ₂ CF ₃	O	170
95	H	H	H	3-Br	4-CN	OCF ₃	O	187
96	H	H	H	3-CF ₃	4-CN	OCF ₃	O	165
97	H	H	H	3-CF ₃	4-CN	SCF ₃	O	164
98	H	H	H	H	4-Cl	OCF ₃	S	171
99	H	H	H	3-Cl	4-CN	OCF ₃	S	149
100	H	H	H	3-CF ₃	4-CN	OCF ₃	S	209
101	H	H	CO-CH ₃	3-Cl	4-CN	OCF ₃	O	178
102	H	H	CO-Ph	3-Cl	4-CN	OCF ₃	O	221

Table 2 (Cont'd)

No.	R ²	R ⁴	R ⁵	X	Y	Z	W	mp (°C)
103	H	H	CONHC ₂ H ₅	3-Cl	4-CN	OCF ₃	O	201
104	H	OH	H	H	H	OCF ₃	O	190
105	H	OCH ₃	H	H	H	Cl	O	195
106	H	OCH ₃	H	H	H	OCF ₃	O	183
107	H	OCH ₃	H	H	H	OCF ₃	O	186
108	CH ₃	H	H	3-Cl	4-CN	OCF ₃	O	156
109	H	H	H	H	4-F	OCF ₃	O	209
110	H	H	H	H	4-Br	Cl	O	233
111	H	H	H	H	4-Br	OCF ₃	O	201
112	H	H	H	H	3-CN	OCF ₃	O	176
113	H	H	H	H	2-NO ₂	OCF ₃	O	197
114	H	H	H	3-F	4-CN	OCF ₃	O	189

Table 2 (Cont'd)

No.	R ²	R ⁴	R ⁵	X	Y	Z	W	mp [°C]
115	H	H	H	3-F	4-CN	SCF ₃	O	189
116	H	H	H	3-F	4-CN	SO CF ₃	O	166
117	H	H	H	3-CF ₃	4-CN	OCF ₃	O	131
								(-) - Isomer
118	H	H	H	3-CF ₃	4-CN	OCF ₃	O	126
								(+) - Isomer
119	H	H	H	3-CF ₃	4-CN	SO CF ₃	O	Glassy
120	H	H	H	3-CF ₃	4-CN	SO ₂ CF ₃	O	Glassy
121	H	H	H	H	3-CN	OCF ₃	O	120

Note: Ph is phenyl group.

Compounds 106 and 107 are diastereomers.

Compound 106 is higher than Compound 107 in the R_f value.

5 Formula (I-3)

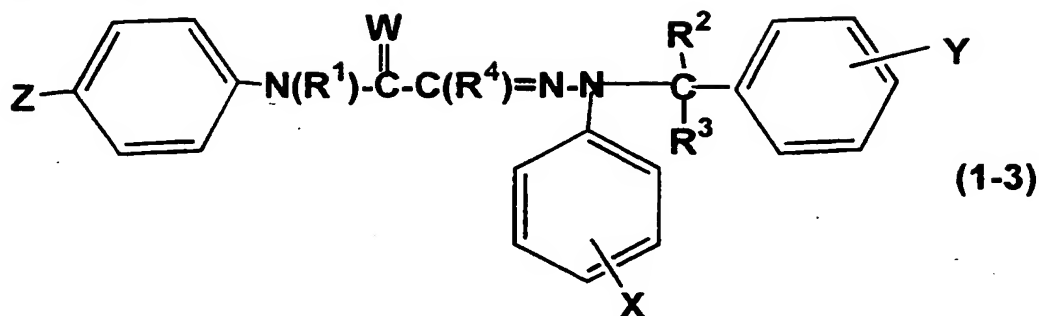


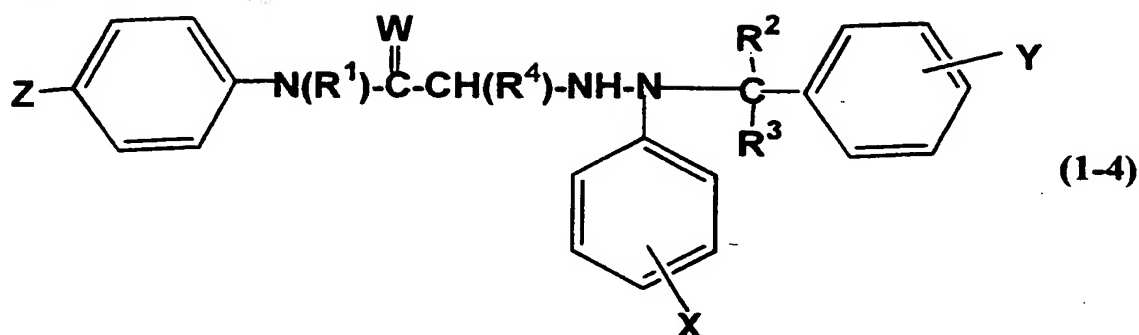
Table 3 (R^2 and R^3 are hydrogen atoms, and W is oxygen atom.)

No	R^1	R^2	X	Y	Z	mp \square , Refractive index
122	H	H	H	H	OCF ₃	113.3-114.0
123	H	H	H	4-Cl	OCF ₃	137.8
124	H	H	H	4-CN	Cl	163
125	H	H	H	4-CN	OCF ₃	138
126	H	H	3-Cl	4-Cl	Cl	143.5-144.0
127	H	H	3-Cl	4-Cl	OCF ₃	139.6-141.5
128	H	H	3-Cl	4-NO ₂	Cl	174.0-176.5
129	H	H	3-Cl	4-NO ₂	OCF ₃	151.6-151.7
130	H	H	3-Cl	4-CN	Cl	191.0-192.0
131	H	H	3-Cl	4-CN	OCF ₃	160.5-162.0
132	H	H	3-Cl	4-CN	SCF ₃	188.0
133	H	H	3-Cl	4-CN	SOCF ₃	206.1
134	H	H	3-F	4-CN	Cl	154-156
135	H	H	3-F	4-CN	OCF ₃	155.9-156.8

Table 3 (Cont'd)

No	R ¹	R ⁴	X	Y	Z	mp °C, Refractive index
136	H	H	3-CH ₃	4-CN	Cl	127
137	H	H	3-CH ₃	4-CN	OCF ₃	166
138	H	H	3-CF ₃	4-CN	Cl	164-165
139	H	H	3-CF ₃	4-CN	OCF ₃	151.0
140	H	CH ₃	3-Cl	4-CN	OCF ₃	nD 1.5950 (25°C)
141	CH ₃	H	3-CF ₃	4-CN	Cl	209-211
142	H	H	3-Cl	2-CN	OCF ₃	148

Formula (I-4)

Table 4 (R^1 , R^2 , R^3 and R^4 are hydrogen atoms.)

No	X	Y	Z	mp $^{\circ}$, Refractive index
143	H	H	OCF ₃	51.0-53.0
144	H	4-Cl	OCF ₃	92.1
145	H	4-CN	Cl	106-108
146	H	4-CN	OCF ₃	nD 1.5685 (27 $^{\circ}$)
147	3-Cl	4-Cl	Cl	105.3-106.4
148	3-Cl	4-Cl	OCF ₃	38.0
149	3-Cl	4-NO ₂	Cl	Viscous
150	3-Cl	4-NO ₂	OCF ₃	Viscous
151	3-Cl	4-CN	Cl	153.1
152	3-Cl	4-CN	OCF ₃	43.5-45.0
153	3-F	4-CN	Cl	164-165
154	3-F	4-CN	OCF ₃	nD 1.5615 (27 $^{\circ}$)
155	3-CH ₃	4-CN	Cl	138-139
156	3-CH ₃	4-CN	OCF ₃	nD 1.5315 (28 $^{\circ}$)
157	3-CF ₃	4-CN	Cl	43
158	3-CF ₃	4-CN	OCF ₃	153.1

Some of the compounds shown in Tables 1 to 4 are viscous or glassy substances. Their ^1H -NMR data are summarized in Table 5.

Table 5

No	^1H -NMR[CDCl_3/TMS , δ (ppm)]
59	6.29 (s, 1H), 7.65-7.92 (m, 13H), 9.14 (bs, 1H), 10.70 (bs, 1H). (DMSO- d_6)
62	3.88 (bs, 1H), 3.87 (s, 1H), 6.91-7.55 (m, 13H), 7.73 (s, 1H), 8.13 (bs, 1H).
119	3.12 (dd, 1H), 3.23 (dd, 1H), 4.12-4.32 (m, 2H), 6.13 (bs, 1H), 7.24-7.93 (m, 12H), 8.08 (bs, 1H).
120	3.11 (dd, 1H), 3.23 (dd, 1H), 4.13-4.28 (m, 2H), 5.97 (s, 1H), 7.25-7.75 (m, 12H), 7.90-8.00 (bs, 1H).
149	3.65 (d, 2H), 4.20 (t, 1H), 4.70 (s, 2H), 6.85 (dd, 1H), 6.93 (dd, 1H), 7.08 (dd, 1H), 7.15-7.21 (m, 3H), 7.24 (d, 2H), 7.40 (d, 2H), 8.13 (d, 2H), 8.40 (s, 1H).
150	3.64 (s, 2H), 4.69 (s, 2H), 6.84 (dd, 1H), 6.94 (dd, 1H), 7.09 (m, 3H), 7.23 (t, 1H), 7.29 (d, 2H), 7.40 (d, 2H), 8.12 (d, 2H), 8.40 (s, 1H).

5 The ant controller of the present invention
exhibits a markedly high killing effect at a low dosage
upon all the termites doing harm to houses, construction
materials, furniture, leathers, fibers, vinyl articles,
electric wires and cables, for example, RHINOTERMITIDAE
10 including *Coptotermes formosanus* Shiraki, *Reticulitermes*
speratus (Kolbe), *Reticulitermes hesperus* which inhabits
the North America, *Reticulitermes tibialis*,
Reticulitermes flavipes, *Reticulitermes lucifugus* which
inhabits the shore of the Mediterranean, *Reticulitermes*
15 *santonensis*, *Incisitermes minor* (Hagen), TERMITIDAE
including *Odontotermes formosanus* (Shiraki),
KALOTERMITIDAE including *Cryptotermes domesticus*
(Haviland), TERMOPSIDAE including *Hodotermopsis japonica*
(Holmgren), etc.

20 Further, the ant controller of the present
invention exhibits a markedly high killing effect at a
low dosage upon all the ants doing harm to crops, or to
human being when the ants invade into houses and public
facilities such as parks, for example, FORMICIDAE
25 including *Monomorium pharaonis* Linne, *Monomorium*
nipponense Wheellex, *Camponotus kiusiuensis* Santschi,
Formica japonica Motschulsky, *Lasius fuliginosus*
(Latreille), *Solenopsis richteri*, *Solenopsis invicta*,
Solenopsis geminata (Fireant), etc.

30 For using the ant controller of the present
invention containing the hydrazine derivative of formula
(I) as an active ingredient efficiently, the ant

5 controller is formulated with a proper solid carrier
and/or liquid carrier. If necessary, it is formulated
with auxiliaries in a proper proportion according to the
conventional recipe of formulation, and homogenized
together with the carrier by the method of dissolution,
10 suspension, mixing, impregnation, adsorption or
adhesion, so as to be made it into an appropriate
preparation form such as oily solution, emulsifiable
concentrate, solubilized concentrate, dust, granule,
wetttable powder, aerosol, fumigant, flowable preparation
15 or the like. It is also possible to form the termite
controller into a bait preparation by compounding it
with a bait containing an attractant or the like.

As the solid carrier used in the present
invention, there can be exemplified clays such as
20 kaolin, bentonite, acid clay and the like; talcs such as
talc, pyrophyllite and the like; silica materials such
as diatomaceous earth, siliceous sand, mica, synthetic
silicate, synthetic high-dispersion silica and the like;
and inorganic mineral powders such as pumice, sand and
25 the like; organic matters such as pieces of wood, chips
of pulp wood, grain flour, sugars and the like. As the
liquid carrier, there can be exemplified alcohols such
as methyl alcohol, ethyl alcohol, ethylene glycol and
the like; ketones such as acetone, methyl ethyl ketone,
30 cyclohexanone and the like; ethers such as ethyl ether,
dioxane, tetrahydrofuran, Cellosolves and the like;
aliphatic hydrocarbons such as light oil, kerosene and

- 25 -

5 the like; aromatic hydrocarbons such as benzene,
toluene, xylene, solvent naphtha, cyclohexanone,
methylnaphthalene and the like; and halogenated
hydrocarbons such as chloroform, carbon tetrachloride,
chlorobenzene and the like. These solid and liquid
10 carriers may be used either alone or in the form of a
mixture.

As the auxiliaries which can be used in the
present invention, surfactants, dispersants, sticking
agents, etc. can be referred to. As the surfactants,
15 there can be exemplified polyoxyethylene alkylaryl
ethers, polyoxyethylene sorbitan monolaurates, alkylaryl
sorbitan monolaurates, alkylbenzenesulfonates,
alkylnaphthalene-sulfonates, ligninsulfonates, higher
alcohol sulfuric ester salts, etc. These surfactants
20 may be used either alone or in the form of a mixture.

As the dispersants or sticking agents, for
example, casein, gelatin, starch, alginic acid,
carboxymethyl cellulose, agar, polyvinyl alcohol,
turpentine oil, etc. can be used according to the need.

25 The ant controller of the present invention is
applied not only to the surrounding soil surface or into
the under-floor soil in order to protect wooden
materials such as trees, board fences, sleepers, etc.
and structures such as shrines, temples, houses,
30 outhouses, factories, etc., but it can also be applied
to lumbered articles such as surfaces of the under-floor
concrete, alcove posts, beams, plywoods, furniture,

5 etc., wooden articles such as particle boards, half
boards, etc. and vinyl articles such as coated electric
wires, vinyl sheets, heat insulating material such as
styrene foams, etc. In case of application against ants
10 of the present invention is applied to the crops or the
surrounding soil, or is directly applied to the nest of
ants or the like.

The present invention is not limited to the
embodiments mentioned above, but it also includes the
15 embodiments of applying the ant controller of the
invention preventively to places at which occurrence of
ants is expected.

In putting the ant controller of the present
invention, the dosage may be appropriately selected from
20 the ranges properly chosen. In case of application to
wooden materials, the quantity of active ingredient
ranges from 0.1 to 50 g per m²; and in case of soil
treatment or application to the nests, the quantity of
active ingredient ranges from 1 to 500 g per m².

25 EXAMPLES

Next, typical examples and test example of the
present invention are presented below. The invention is
by no means limited to these examples.

In the examples, "parts" are by weight.

- 27 -

5 Formulation Example 1

Each hydrazine derivative listed

in Tables 1-4 20 parts

Xylene 80 parts

10 The ingredients mentioned above were made into
a uniform solution to obtain an oily solution.

Formulation Example 2

Each hydrazine derivative listed

in Tables 1-4 10 parts

Polyoxyethylene styrylphenyl ether 10 parts

15 Cyclohexanone 80 parts

The ingredients mentioned above were uniformly
mixed and dissolved together to obtain an emulsifiable
concentrate.

Formulation Example 3

20 Each hydrazine derivative listed

in Tables 1-4 10 parts

Sodium alkylbenzenesulfonate 2 parts

White carbon 10 parts

Clay 78 parts

25 The ingredients mentioned above were uniformly
mixed and pulverized to obtain a wettable powder.

Formulation Example 4

Each hydrazine derivative listed

in Tables 1-4 8 parts

Table 6

Compound No.	Termite-killing effect	Compound No.	Termite-killing effect
1	A	5	A
2	B	6	A
3	A	7	A
4	A	8	C

5 Table 6 (Cont'd)

Compound No.	Termite-killing effect	Compound No.	Termite-killing effect
9	B	32	A
10	A	33	C
11	A	34	A
12	A	35	A
13	A	36	B
14	A	37	A
15	B	38	B
16	C	39	A
17	A	40	D
18	A	41	A
19	A	42	A
20	A	43	A
21	A	44	C
22	B	45	A
23	A	46	A
24	C	47	A
25	D	48	A
26	A	49	C
27	A	50	A
28	C	51	A
29	C	52	A
30	A	53	B
31	A	54	A

5 Table 6 (Cont'd)

Compound No.	Termite-killing effect	Compound No.	Termite-killing effect
55	A	78	A
56	A	79	B
57	D	80	A
58	A	81	A
59	C	82	B
60	C	83	D
61	A	84	A
62	A	85	C
63	A	86	A
64	A	87	C
65	C	88	A
66	A	89	B
67	A	90	A
68	A	91	A
69	B	92	A
70	A	93	D
71	A	94	A
72	A	95	A
73	A	96	A
74	A	97	A
75	A	98	A
76	A	99	A
77	A	100	A

5 Table 6 (Cont'd)

Compound No.	Termite-killing effect	Compound No.	Termite-killing effect
101	A	124	D
102	A	125	A
103	A	126	A
104	A	127	A
105	B	128	A
106	A	129	A
107	D	130	C
108	C	131	C
109	C	132	A
110	B	133	A
111	D	134	A
112	A	135	B
113	A	136	A
114	B	137	A
115	A	138	A
116	B	139	A
117	A	140	A
118	D	141	D
119	A	142	C
120	A	143	C
121	C	144	B
122	D	145	A
123	A	146	D

5 Table 6 (Cont'd)

Compound No.	Termite-killing effect	Compound No.	Termite-killing effect
147	A	153	A
148	A	154	B
149	A	155	A
150	C	156	B
151	C	157	A
152	B	158	C

Test Example 2

The ant controller of the present invention
10 was applied to nests (anthill) of fireant (*Solenopsis
geminata*) with drench treatment, in terms of 1 g of the
active ingredient per one nest. 14 Days after the
treatment of the ant controller, the activity of the
nests was evaluated according to the following
15 criterion. The test was carried out with one block per
one nest.

- 34 -

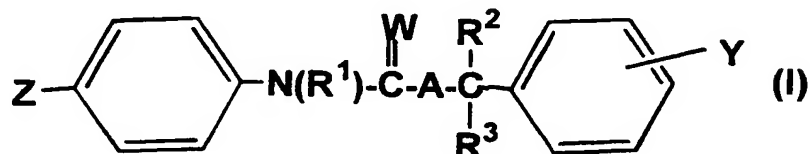
5	Criterion	Effect
	A	Nest is completely destructed or activity of the nest is extremely low.
	B	Activity of the nest is exhibited.
10	C	High activity of the nest is exhibited.
	D	Activity of the nest is extremely high.

As a result of the test, compound Nos. 44 and 96 of the present invention exhibited the effect "A".

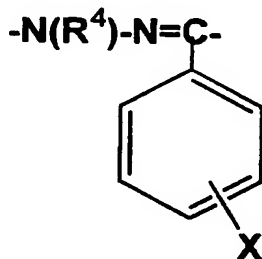
AM100246

WHAT IS CLAIMED IS:

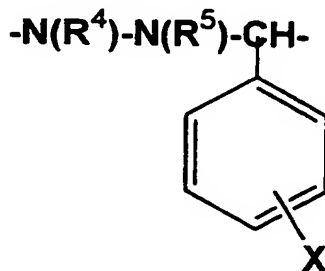
1. An ant controller characterized by containing, as active ingredient thereof, a hydrazine derivative represented by the following formula (I):



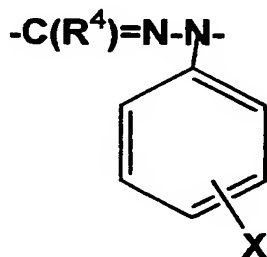
wherein A represents:



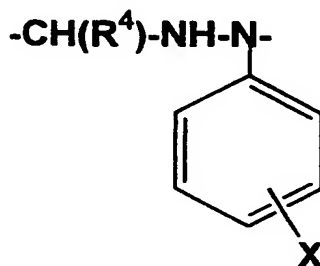
(wherein R^4 represents hydrogen atom or C_1 - C_6 alkyl group, and X represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, C_1 - C_6 alkyl group and halo C_1 - C_6 alkyl group),



(wherein R^4 and X are as defined above, and R^5 represents hydrogen atom, C_1 - C_6 alkylcarbonyl group or phenylcarbonyl group which may have 1 to 2, same or different substituents selected from the group consisting of C_1 - C_6 alkyl groups),



(wherein R^4 and X are as defined above), or



(wherein R^4 and X are as defined above);

R^1 represents hydrogen atom or C_1 - C_6 alkyl group;

R^2 and R^3 , which may be same or different, represent hydrogen atom, hydroxyl group, C_1 - C_6 alkyl group, C_1 - C_6 alkoxy group, C_1 - C_6 alkylcarbonyl group or phenylcarbonyl group;

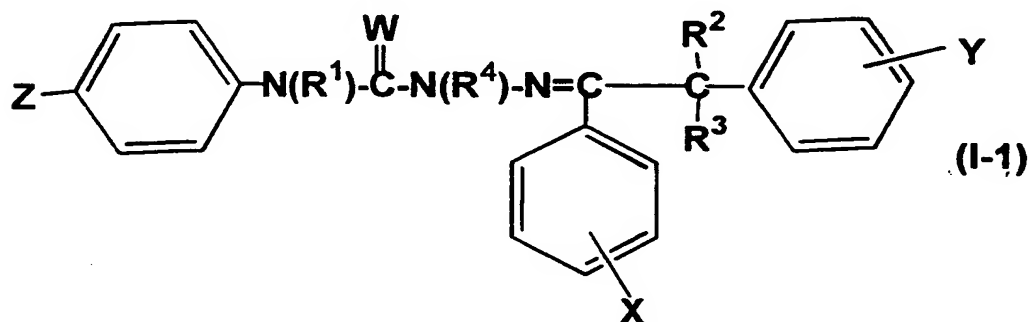
Y represents 1 to 5, same or different substituents selected from the group consisting of

hydrogen atom, halogen atom, nitro group and cyano group;

Z represents halogen atom, cyano group, C₁-C₆ alkyl group, halo C₁-C₆ alkyl group, C₁-C₆ alkoxy group, halo C₁-C₆ alkoxy group, halo C₁-C₆ alkylthio group, halo C₁-C₆ alkylsulfinyl group or halo C₁-C₆ alkylsulfonyl group; and

W represents oxygen atom or sulfur atom.

2. The ant controller according to Claim 1, which is represented by the following formula (I-1):



wherein R² represents hydrogen atom or C₁-C₆ alkyl group;

R² and R³, which may be same or different, represent hydrogen atom, hydroxyl group, C₁-C₆ alkyl group, C₁-C₆ alkoxy group, C₁-C₆ alkylcarbonyl group or phenylcarbonyl group;

R⁴ represents hydrogen atom or C₁-C₆ alkyl group;

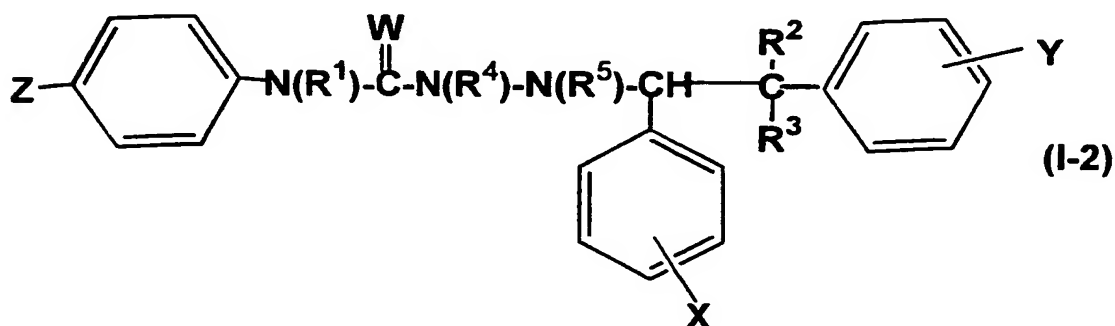
X represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, C₁-C₆ alkyl group and halo C₁-C₆ alkyl group;

Y represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group;

Z represents halogen atom, cyano group, C₁-C₆ alkyl group, halo C₁-C₆ alkyl group, C₁-C₆ alkoxy group, halo C₁-C₆ alkoxy group, halo C₁-C₆ alkylthio group, halo C₁-C₆ alkylsulfinyl group or halo C₁-C₆ alkylsulfonyl group; and

W represents oxygen atom or sulfur atom.

3. The ant controller according to Claim 1, which is represented by the following formula (I-2):



wherein R¹ represents hydrogen atom or C₁-C₆ alkyl group;

R² and R³, which may be same or different, represent hydrogen atom, hydroxyl group, C₁-C₆ alkyl group, C₁-C₆ alkoxy group, C₁-C₆ alkylcarbonyl group or phenylcarbonyl group;

R⁴ represents hydrogen atom or C₁-C₆ alkyl group;

R⁵ represents hydrogen atom, C₁-C₆ alkylcarbonyl group or phenylcarbonyl group which may

have 1 to 2, same or different substituents selected from the group consisting of C₁-C₆ alkyl groups;

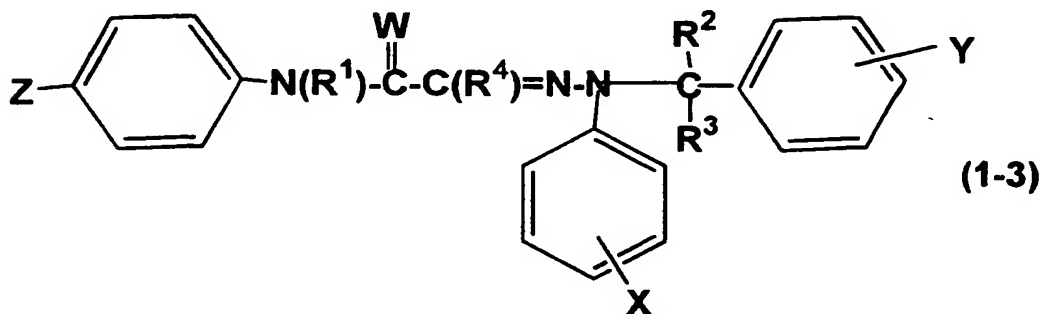
X represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, C₁-C₆ alkyl group and halo C₁-C₆ alkyl group;

Y represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group;

Z represents halogen atom, cyano group, C₁-C₆ alkyl group, halo C₁-C₆ alkyl group, C₁-C₆ alkoxy group, halo C₁-C₆ alkoxy group, halo C₁-C₆ alkylthio group, halo C₁-C₆ alkylsulfinyl group or halo C₁-C₆ alkylsulfonyl group; and

W represents oxygen atom or sulfur atom.

4. The ant controller according to Claim 1, which is represented by the following formula (I-3):



wherein R¹ represents hydrogen atom or C₁-C₆ alkyl group;

R² and R³, which may be same or different, represent hydrogen atom, hydroxyl group, C₁-C₆ alkyl group, C₁-C₆ alkoxy group, C₁-C₆ alkylcarbonyl group or

phenylcarbonyl group;

R^1 represents hydrogen atom or C_1-C_6 alkyl group;

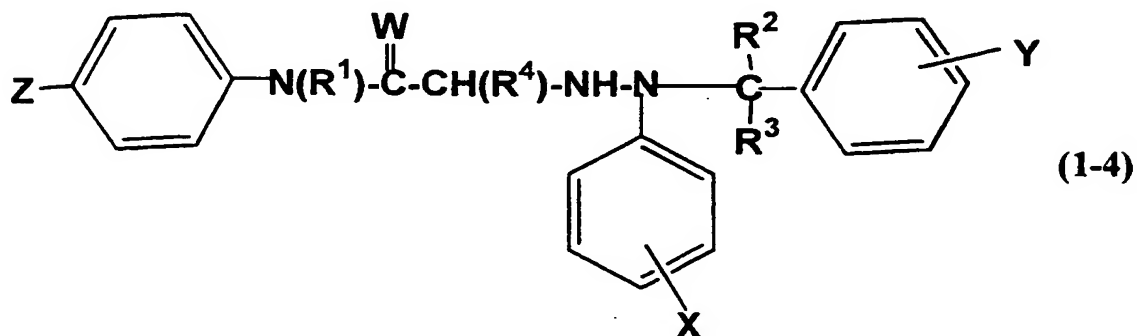
X represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, C_1-C_6 alkyl group and halo C_1-C_6 alkyl group;

Y represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group;

Z represents halogen atom, cyano group, C_1-C_6 alkyl group, halo C_1-C_6 alkyl group, C_1-C_6 alkoxy group, halo C_1-C_6 alkoxy group, halo C_1-C_6 alkylthio group, halo C_1-C_6 alkylsulfinyl group or halo C_1-C_6 alkylsulfonyl group; and

W represents oxygen atom or sulfur atom.

5. The ant controller according to Claim 1, which is represented by the following formula (I-4):



wherein R^1 represents hydrogen atom or C_1-C_6 alkyl group;

R^2 and R^3 , which may be same or different,

represent hydrogen atom, hydroxyl group, C₁-C₆ alkyl group, C₁-C₆ alkoxy group, C₁-C₆ alkylcarbonyl group or phenylcarbonyl group;

R⁴ represents hydrogen atom or C₁-C₆ alkyl group;

X represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, C₁-C₆ alkyl group and halo C₁-C₆ alkyl group;

Y represents 1 to 5, same or different substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group;

Z represents halogen atom, cyano group, C₁-C₆ alkyl group, halo C₁-C₆ alkyl group, C₁-C₆ alkoxy group, halo C₁-C₆ alkoxy group, halo C₁-C₆ alkylthio group, halo C₁-C₆ alkylsulfinyl group or halo C₁-C₆ alkylsulfonyl group; and

W represents oxygen atom or sulfur atom.

6. A method for application of an ant controller which comprises treating a wooden part and a surrounding soil where ants and termites live, with an effective quantity of the ant controller according to Claim 1.

7. The method for application of an ant controller according to Claim 6, wherein the hydrazine derivative represented by the general formula (I) is a hydrazine derivative claimed in any one of Claims 2 to 5.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/17895

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A01N47/34 A01N37/44

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, WPI Data, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 92 06076 A (DU PONT) 16 April 1992 (1992-04-16) page 54, line 32 -page 55, line 17 claim 1; table A ---	1,2,6,7
X	EP 0 462 456 A (NIHON NOHYAKU CO LTD) 27 December 1991 (1991-12-27) cited in the application page 1; claim 1; example A004 ---	1,2,6,7
X	EP 0 500 111 A (ISHIHARA MINING & CHEMICAL CO) 26 August 1992 (1992-08-26) page 38, line 55 -page 39, line 2; claim 1; example 200 --- -/--	1,2,6,7

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

28 August 2000

Date of mailing of the international search report

23. 11. 00

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Bertrand, F

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 00/17895

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>WO 94 11340 A (NIPPON SODA CO ;KISHIMOTO TAKASHI (JP); MATSUDA MICHIIKO (JP); HA) 26 May 1994 (1994-05-26) abstract; example 12 -----</p>	1,2

INTERNATIONAL SEARCH REPORT

I .ational application No.
PCT/US 00/17895

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
1, 6, 7 (all partly)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1,6,7 (all partly) and 2

Ant controller and method of its application, involving a compound of general formula I-1

2. Claims: 1,6,7 (all partly) and 3

Ant controller and method of its application, involving a compound of general formula I-2

3. Claims: 1,6,7 (all partly) and 4

Ant controller and method of its application, involving a compound of general formula I-3

4. Claims: 1,6,7 (all partly) and 5

Ant controller and method of its application, involving a compound of general formula I-4

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/17895

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9206076 A	16-04-1992	AU 9028991 A CA 2093351 A EP 0553284 A JP 6502414 T	28-04-1992 06-04-1992 04-08-1993 17-03-1994
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EP 0500111 A	26-08-1992	BR 9200586 A CA 2061214 A CN 1064481 A EG 19569 A HU 60595 A JP 5279312 A MX 9200731 A NZ 241574 A RO 108451 B US 5288727 A ZA 9201240 A	27-10-1992 23-08-1992 16-09-1992 29-06-1995 28-10-1992 26-10-1993 01-09-1992 26-08-1993 31-05-1994 22-02-1994 25-11-1992
WO 9411340 A	26-05-1994	JP 6157444 A AU 5433794 A	03-06-1994 08-06-1994